

10/587, 613B Yong Chu 02/01/2010

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NEWS	4	AUG 24 ENCOMPAT/ENCOMPAT2 reloaded and enhanced
NEWS	5	AUG 24 CA/Cplus enhanced with legal status information for U.S. patents
NEWS	6	SEP 09 50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY
NEWS	7	SEP 11 WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus
NEWS	8	OCT 21 Derwent World Patents Index Coverage of Indian and Taiwanese Content Expanded
NEWS	9	OCT 21 Derwent World Patents Index enhanced with human translated claims for Chinese Applications and Utility Models
NEWS	10	NOV 23 Addition of SCAN format to selected STN databases
NEWS	11	NOV 23 Annual Reload of IFI Databases
NEWS	12	DEC 01 FRFULL Content and Search Enhancements
NEWS	13	DEC 01 DGENE, USGENE, and PCTGEN: new percent identity feature for sorting BLAST answer sets
NEWS	14	DEC 02 Derwent World Patent Index: Japanese FI-TERM thesaurus added
NEWS	15	DEC 02 PCTGEN enhanced with patent family and legal status display data from INPADOCDB
NEWS	16	DEC 02 USGENE: Enhanced coverage of bibliographic and sequence information
NEWS	17	DEC 21 New Indicator Identifies Multiple Basic Patent Records Containing Equivalent Chemical Indexing in CA/Cplus
NEWS	18	JAN 12 Match STN Content and Features to Your Information Needs, Quickly and Conveniently
NEWS	19	JAN 25 Annual Reload of MEDLINE database
NEWS		EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4, AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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COST IN U.S. DOLLARS          SINCE FILE      TOTAL
                                ENTRY        SESSION
FULL ESTIMATED COST          0.22          0.22
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STRUCTURE FILE UPDATES: 31 JAN 2010 HIGHEST RN 1204295-01-1
DICTIONARY FILE UPDATES: 31 JAN 2010 HIGHEST RN 1204295-01-1

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<http://www.cas.org/support/stn/gen/stndoc/properties.html>

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FILE 'REGISTRY' ENTERED AT 21:30:44 ON 01 FEB 2010

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ENTER NAME OF SAVED ITEM TO ACTIVATE OR (END):yc10587613/a
L1          STR
L2      958 SEA FILE=REGISTRY SSS FUL L1
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=>
Uploading C:\Documents and Settings\ychu\Desktop\Case\10587613\10587613A-02012010.str



chain nodes :

20 23 24 25 26 27 28 29 33 35 36 38 39

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15

chain bonds :

1-35 2-36 3-38 4-7 5-23 6-33 13-39 24-25 25-26 27-28 28-29

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 10-13 11-12 11-15 13-14
14-15

exact/norm bonds :

1-35 2-36 3-38 4-7 5-23 6-33 10-13 11-15 13-14 13-39 14-15 24-25 25-26
27-28 28-29

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

isolated ring systems :

containing 1 :

G1:C,O,S,N

G2:O,CH,t-Bu,X,H

G3:H,CH3,Et,n-Pr

G4:[*1],[*2]

G5:H,CH3,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,X

G6:H,CH3,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,X

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 20:CLASS 21:Atom 23:CLASS 24:CLASS
25:CLASS 26:CLASS

27:CLASS 28:CLASS 29:CLASS 33:CLASS 35:CLASS 36:CLASS 38:CLASS 39:Atom

Generic attributes :

39:

Number of Carbon Atoms : less than 7

Type of Ring System : Monocyclic

Element Count :
Node 39: Limited
O,O2
S,S2
N,N2

L3 STRUCTURE UPLOADED

=> d
L3 HAS NO ANSWERS
L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l3 sam sss sub=12
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SAMPLE SUBSET SCREEN SEARCH COMPLETED - 54 TO ITERATE
100.0% PROCESSED 54 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01
PROJECTIONS (WITHIN SPECIFIED SUBSET): ONLINE **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET): 640 TO 1520
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET): 0 TO 0

L4 0 SEA SUB=L2 SSS SAM L3

=> s l3 full sss sub=12
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FULL SUBSET SCREEN SEARCH COMPLETED - 958 TO ITERATE

100.0% PROCESSED 958 ITERATIONS 59 ANSWERS
SEARCH TIME: 00.00.01

L5 59 SEA SUB=L2 SSS FUL L3

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chain nodes :

20 23 24 25 26 27 28 29 33 35 36 38 39

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15

chain bonds :

1-35 2-36 3

ring bonds:

1-2

14

14-15

exact/norm bonds :;

1-35 2-36

27-28 28-29

normalized bonds ...

1-2 1-6 2-3

isolated ring sys

containing 1 :

GI: C, O, S, N

G2:O,CH,t-Bu,X,H

G3:H,CH3,Et,n-Pr

G4: [*1], [*2]

G5:H,CH3,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,X

G6:H,CH3,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,X

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 20:CLASS 21:Atom 23:CLASS 24:CLASS

25:CLASS 26:CLASS

27:CLASS 28:CLASS 29:CLASS 33:CLASS 35:CLASS 36:CLASS 38:CLASS 39:Atom

Generic attributes :

39:

Number of Carbon Atoms : less than 7

Type of Ring System : Monocyclic

Element Count :
Node 39: Limited
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 S, S2
 N, N2

L6 STRUCTURE UPLOADED

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L6 HAS NO ANSWERS
L6 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

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FULL SUBSET SEARCH INITIATED 21:34:50 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 958 TO ITERATE

100.0% PROCESSED 958 ITERATIONS
SEARCH TIME: 00:00:01
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6 SEA SUB=L2 SSS FULL L6

=> file caplus
COST IN U.S. DOLLARS
SINCE FILE
ENTRY
SESSION
94 92 95 14
FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 21:35:17 ON 01 FEB 2010
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FILE COVERS 1907 - 1 Feb 2010 VOL 152 ISS 6
FILE LAST UPDATED: 31 Jan 2010 (20100131/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

CPlus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s 15
L8          9 L5

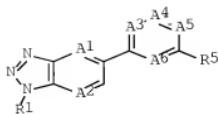
=> s 17
L9          3 L7

=> s 18 not 19
L10          9 L8 NOT L9

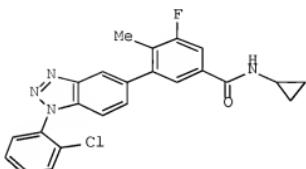
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L8 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:360171 CAPLUS Full-text
DOCUMENT NUMBER: 150:374537
TITLE: Preparation of triazole fused heteroaryl compounds as p38 kinase inhibitors
INVENTOR(S): Pettus, Liping H.; Sham, Kelvin K. C.; Tasker, Andrew; Xu, Shimin
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 88pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009038784	A1	20090326	WO 2008-US10931	20080919
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SI, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			US 2007-994806P	P 20070921
OTHER SOURCE(S):		MARPAT 150:374537		
GI				



I



II

AB The title compds. I [A1 = CR2, N; A2 = CR3, N; A3 = CR4; A4-A6 = CR6, N (provided that no more than two of A3-A6 = N); R1 = alkyl, alkoxy, thioalkyl, etc.; R2, R3 = H, halo, haloalkyl, etc.; R4 = H, halo, haloalkyl, etc.; R5 = CONR7R8, CONR7R8, NR7COR7, etc.; R6 = H, halo, haloalkyl, etc.; R7 = H, alkyl, alkenyl, etc.; R8 = partially or fully satd. or unsatd. 3-8 membered monocyclic, 6-12 membered bicyclic, 7-14 membered tricyclic ring system, etc.], useful for modulating the activity of p38 MAP kinase, were prep'd. E.g., a multi-step synthesis of II, starting from 1-bromo-4-fluoro-3-nitrobenzene and 2-chloroaniline, was given. Exemplified compds. I were tested in various biol. tests (data given for representative compds. I). The invention further provides pharmaceutical compns. including one or more compds. I, use of such compds. and compns. for treatment of p38 MAP kinase mediated diseases including rheumatoid arthritis, psoriasis and other inflammatory disorders, as well as intermediates and processes useful for the prepn. of compds. I.

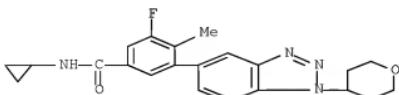
IT 1135352-10-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of triazole fused heteroaryl compds. for lowering plasma concns. of TNF-.alpha., IL-1, IL-6, IL-8 or a combination thereof)

RN 1135352-10-1 CAPLUS

CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-(tetrahydro-2H-pyran-4-yl)-1H-benzotriazol-5-yl]- (CA INDEX NAME)



REFERENCE COUNT:

9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2008:1481200 CAPLUS Full-text
 DOCUMENT NUMBER: 150:29003
 TITLE: NF-_{kappa}B inhibitor-p38 MAP kinase inhibitor
 combination for the treatment of cancer and
 inflammatory diseases
 INVENTOR(S): Fu, Haian; Liotta, Dennis C.; Thomas, Shala L.;
 Snyder, James P.
 PATENT ASSIGNEE(S): Emory University, USA
 SOURCE: PCT Int. Appl., 122pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008150899	A1	20081211	WO 2008-US65132	20080529
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2007-932125P P 20070529

OTHER SOURCE(S): MARPAT 150:29003

AB The invention is directed to combinations of compds. useful in the treatment and prevention of cancer and inflammatory conditions or diseases. In particular embodiments, the combinations comprise one or more compds. that are NF-_{kappa}B inhibitors or p38 MAPK inhibitors. The invention further provides pharmaceutical compns. and methods of treatment using the combinations. In one embodiment, the NF -KB inhibitor is a curcumin analog.

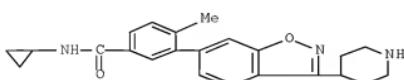
IT 651780-51-7 1092358-66-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(NF-_{kappa}B inhibitor-p38 MAP kinase inhibitor combination for treatment of cancer and inflammatory diseases)

RN 651780-51-7 CAPLUS

CN Benzanide, N-cyclopropyl-4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]- (CA INDEX NAME)



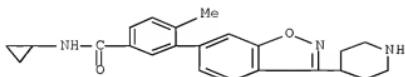
RN 1092358-66-1 CAPLUS

CN Benzanide, N-cyclopropyl-4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]-, mixt. with 3,5-bis((2-fluorophenyl)methylene)-4-piperidinone (CA INDEX NAME)

INDEX NAME)

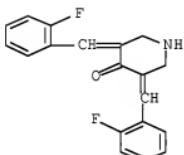
CM 1

CRN 651780-51-7
CMF C23 H25 N3 O2



CM 2

CRN 342808-40-6
CMF C19 H15 F2 N O



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:1138529 CAPLUS [Full-text](#)
DOCUMENT NUMBER: 149:548255
TITLE: Kinase array design, back to front: Biaryl amides
AUTHOR(S): Baldwin, Ian; Bamforth, Paul; Haslam, Claudine G.;
Hunjan, Sucheta S.; Longstaff, Tim; Mooney, Christopher J.; Patel, Shila; Quinn, Jo; Somers, Don O.

CORPORATE SOURCE: Medicines Research Centre, GlaxoSmithKline R&D,
Stevenage, Hertfordshire, SG1 2NY, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2008),
18(19), 5285-5289
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 149:548255

AB New kinase inhibitors can be found by synthesis of targeted arrays of compds.
designed using system-based knowledge as well as through screening focused or

diverse compds. Most array strategies aim to add functionality to a fragment that binds in the purine subpocket of the ATP-site. Here, an alternative pharmacophore-guided array approach is described which set out to discover novel purine subpocket-binding groups. Results are shown for p38.alpha. and cFMS kinase, for which multiple distinct series with nanomolar potency were discovered. Some of the compds. showed potency in cell-based assays and good pharmacokinetic properties.

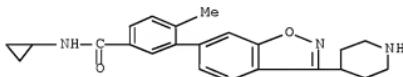
IT 651780-51-7 651780-52-8 651780-53-9

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)

(generation of biaryl amide kinase inhibitor lead compds. by addn. of functionality to compds. already binding in the lipophilic interiors of kinase ATP-binding sites to find structural fragments binding in the purine subpockets)

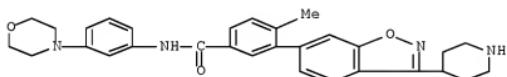
RN 651780-51-7 CAPLUS

CN Benzamide, N-cyclopropyl-4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]-(CA INDEX NAME)



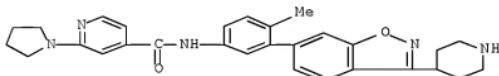
RN 651780-52-8 CAPLUS

CN Benzamide, 4-methyl-N-[3-(4-morpholinyl)phenyl]-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]-(CA INDEX NAME)



RN 651780-53-9 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]phenyl]-2-(1-pyrrolidinyl)-(CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2005:732643 CAPLUS Full-text
 DOCUMENT NUMBER: 143:193999
 TITLE: Preparation of fused heteroaryl derivatives as p38 kinase inhibitors
 INVENTOR(S): Campos, Sebastien Andre; Swanson, Stephen; Walker, Ann Louise
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
 SOURCE: PCT Int. Appl., 59 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION: ****TD filed****

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005073219	A1	20050811	WO 2005-GB281	20050127
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CA, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, MU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1745038	A1	20070124	EP 2005-702034	20050127
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV				
JP 2007519695	T	20070719	JP 2006-550298	20050127 **ODP gone**
US 20070142372	A1	20070621	US 2006-587614	20060728
PRIORITY APPLN. INFO.:				
			GB 2004-2140	A 20040130
			WO 2005-GB281	W 20050127

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:193999; MARPAT 143:193999

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

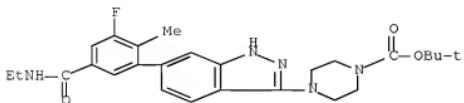
AB Title compds. I [A = (un)substituted fused 5-membered heteroaryl ring, R1 = Me or Cl; R2 = NHCOR3 or CONH(CH2)qR4; R3 = H, alkyl, CF3, etc.; R4 = H, cycloalkyl, alkyl, etc.; q = 0-2; X and Y independently = H, Me or halo] and their pharmaceutically acceptable salts, are prep'd. and disclosed as p38 kinase inhibitors. Thus, e.g., II was prep'd. by coupling of N-cyclopropyl-3-fluoro-5-(1H-indazol-5-yl)-4-methylbenzamide (prepn. given) with 2-(bromomethyl)tetrahydro-2H-pyran. The activity of I was evaluated in fluorescence anisotropy kinase binding assays and it was revealed that compds. of the invention displayed IC50 values of <10 .mu.M or pKi values of >6. I as p38 kinase inhibitor should prove useful in the treatment of disease states mediated by p38 kinase. Pharmaceutical compns. comprising I are disclosed.

IT 861972-51-2P 861972-52-3P 861972-53-4P

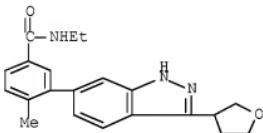
861972-54-5P 861972-55-6P 861972-56-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

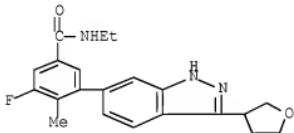
(prepn. of fused heteroaryl derivs. as p38 kinase inhibitors)
RN 861972-51-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[6-[5-[(ethylamino)carbonyl]-3-fluoro-2-methylphenyl]-1H-indazol-3-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



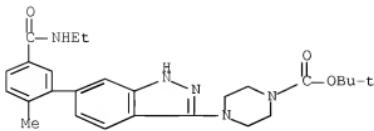
RN 861972-52-3 CAPLUS
CN Benzamide, N-ethyl-4-methyl-3-[3-(tetrahydro-3-furanyl)-1H-indazol-6-yl]- (CA INDEX NAME)



RN 861972-53-4 CAPLUS
CN Benzamide, N-ethyl-3-fluoro-4-methyl-5-[3-(tetrahydro-3-furanyl)-1H-indazol-6-yl]- (CA INDEX NAME)

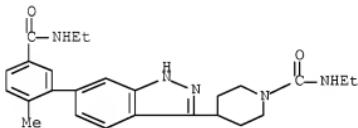


RN 861972-54-5 CAPLUS
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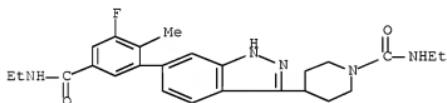
RN 861972-55-6 CAPLUS

CN 1-Piperidinecarboxamide, N-ethyl-4-[6-[5-[(ethylamino)carbonyl]-2-methylphenyl]-1H-indazol-3-yl]- (CA INDEX NAME)



RN 861972-56-7 CAPLUS

CN 1-Piperidinecarboxamide, N-ethyl-4-[6-[5-[(ethylamino)carbonyl]-3-fluoro-2-methylphenyl]-1H-indazol-3-yl]- (CA INDEX NAME)



IT 861972-61-4P 861972-62-5P 861972-63-6P

861972-65-8P 861972-66-9P 861972-67-0P

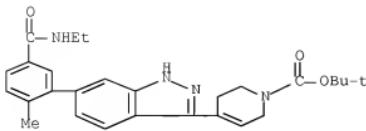
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of fused heteroaryl derivs. as p38 kinase inhibitors)

RN 861972-61-4 CAPLUS

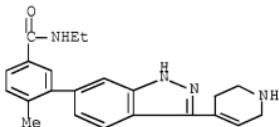
CN 1(2H)-Pyridinecarboxylic acid, 4-[6-[5-[(ethylamino)carbonyl]-2-

methylphenyl]-1H-indazol-3-yl]-3,6-dihydro-, 1,1-dimethylethyl ester (CA INDEX NAME)



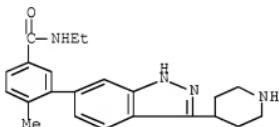
RN 861972-62-5 CAPLUS

CN Benzamide, N-ethyl-4-methyl-3-[3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indazol-6-yl]- (CA INDEX NAME)



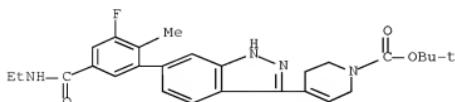
RN 861972-63-6 CAPLUS

CN Benzamide, N-ethyl-4-methyl-3-[3-(4-piperidinyl)-1H-indazol-6-yl]- (CA INDEX NAME)

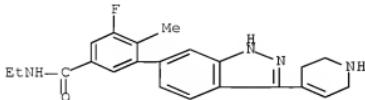


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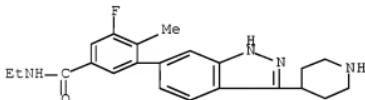
CN 1(2H)-Pyridinecarboxylic acid, 4-[6-[5-[(ethylamino)carbonyl]-3-fluoro-2-methylphenyl]-1H-indazol-3-yl]-3,6-dihydro-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 861972-66-9 CAPLUS
CN Benzanide, N-ethyl-3-fluoro-4-methyl-5-[3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indazol-6-yl]-(CA INDEX NAME)



RN 861972-67-0 CAPLUS
CN Benzanide, N-ethyl-3-fluoro-4-methyl-5-[3-(4-piperidinyl)-1H-indazol-6-yl]-(CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:732641 CAPLUS [Full-text](#)
DOCUMENT NUMBER: 143:211908
TITLE: Preparation of fused heteroaryl derivatives as p38
kinase inhibitors
INVENTOR(S): Patel, Vipulkumar Kantibhai; Swanson, Stephen
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005073217	A1	20050811	WO 2005-GB266	20050127
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1709028 A1 20061011 EP 2005-702023 20050127

EP 1709028 B1 20081105

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS

JP 2007519693 T 20070719 JP 2006-550295 20050127

AT 413392 T 20081115 AT 2005-702023 20050127

ES 2314612 T3 20090316 ES 2005-702023 20050127

US 20070054942 A1 20070308 US 2006-587613 20060728

PRIORITY APPLN. INFO.: GB 2004-2138 A 20040130

WO 2005-GB266 W 20050127

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:211908; MARPAT 143:211908

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = (un)substituted fused 5-membered heteroaryl ring, R1 = Me or Cl; R2 = NHCOR3 or CONH(CH2)qR4; R3 = H, alkyl, CF3, etc.; R4 = H, cycloalkyl, alkyl, etc.; q = 0-2; X and Y independently = H, Me or halo] and their pharmaceutically acceptable salts, are prepd. and disclosed as p38 kinase inhibitors. Thus, e.g., II was prepd. by palladium catalyzed coupling of 6-bromo-5-fluoro-3-(4-pyridinyl)-1H-indazole (prepn. given) with N-cyclopropyl-4-methyl-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzamide. The activity of I was evaluated in fluorescence anisotropy kinase binding assays and it was revealed that compds. of the invention displayed IC50 values of <10 .mu.M or pKi values of >6. I as p38 kinase inhibitor should prove useful in the treatment of disease states mediated by p38 kinase. Pharmaceutical compns. comprising I are disclosed.

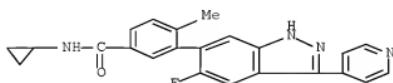
IT 862098-61-1P 862098-63-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of fused heteroaryl derivs. as p38 kinase inhibitors)

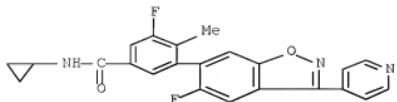
RN 862098-61-1 CAPLUS

CN Benzamide, N-cyclopropyl-3-[5-fluoro-3-(4-pyridinyl)-1H-indazol-6-yl]-4-methyl- (CA INDEX NAME)



RN 862098-63-3 CAPLUS

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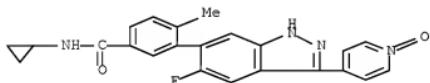
IT 862098-62-2P 862098-64-4P 862098-65-5P
 862098-66-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of fused heteroaryl derivs. as p38 kinase inhibitors)

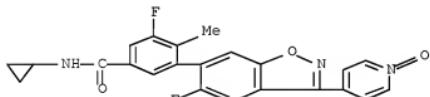
RN 862098-62-2 CAPLUS

CN Benzamide, N-cyclopropyl-3-(5-fluoro-3-(1-oxido-4-pyridinyl)-1H-indazol-6-yl)-4-methyl- (CA INDEX NAME)



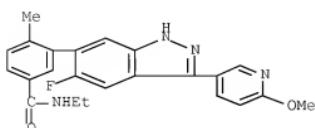
RN 862098-64-4 CAPLUS

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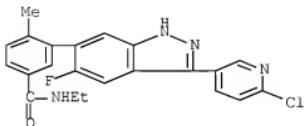


RN 862098-65-5 CAPLUS

CN Benzamide, N-ethyl-3-[5-fluoro-3-(6-methoxy-3-pyridinyl)-1H-indazol-6-yl]-4-methyl- (CA INDEX NAME)



RN 862098-66-6 CAPLUS
CN Benzanide, 3-[3-(6-chloro-3-pyridinyl)-5-fluoro-1H-indazol-6-yl]-N-ethyl-4-methyl- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:729633 CAPLUS [Full-text](#)
DOCUMENT NUMBER: 143:211906
TITLE: Preparation of fused heteroaryl derivatives as p38 kinase inhibitors
INVENTOR(S): Bamborough, Paul; Campos, Sebastien Andre; Patel, Vipulkumar Kantibhai; Swanson, Stephen; Walker, Ann Louise
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005073189	A1	20050811	WO 2005-GB265	20050127
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1708996	A1	20061011	EP 2005-702022	20050127
EP 1708996	B1	20080827		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS				
JP 2007519692	T	20070719	JP 2006-550294	20050127
AT 406351	T	20080915	AT 2005-702022	20050127
ES 2313283	T3	20090301	ES 2005-702022	20050127

US 20090023725
PRIORITY APPLN. INFO.:

A1 20090122

US 2006-587790

20060728

GB 2004-2143
WO 2005-GB265A 20040130
W 20050127ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:211906; MARPAT 143:211906
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = (un)substituted fused 5-membered heteroaryl ring, R1 = Me or Cl; R2 = NHCOR3 or CONH(CH2)qR4; R3 = H, alkyl, CF3, etc.; R4 = H, cycloalkyl, alkyl, etc.; q = 0-2; X and Y independently = H, Me or halo] and their pharmaceutically acceptable salts, are prep'd. and disclosed as p38 kinase inhibitors. Thus, e.g., II was prep'd. by palladium catalyzed Suzuki coupling of 5-bromo-1-phenyl-1H-indazole (prepn. given) with (5-[(cyclopropylamino)carbonyl]-3-fluoro-2-methylphenyl)boronic acid. The activity of I was evaluated in fluorescence anisotropy kinase binding assays and it was revealed that compds. of the invention displayed IC50 values of <10 .mu.M or pKi values of >6. I as p38 kinase inhibitor should prove useful in the treatment of disease states mediated by p38 kinase. Pharmaceutical compns. comprising I are disclosed.

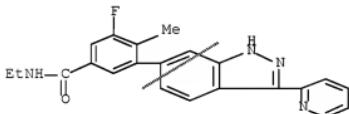
IT 861904-94-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of fused heteroaryl derivs. as p38 kinase inhibitors)

RN 861904-94-1 CAPLUS

CN Benzamide, N-ethyl-3-fluoro-4-methyl-5-[3-(2-pyridinyl)-1H-indazol-6-yl]- (CA INDEX NAME)



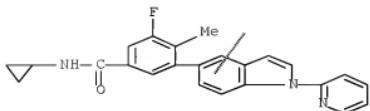
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 861905-01-3P 861905-02-4P 861905-03-5P
 861905-05-7P 861905-07-9P 861905-08-0P
 861905-09-1P 861905-13-7P 861905-15-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

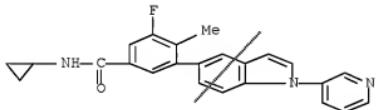
(prepn. of fused heteroaryl derivs. as p38 kinase inhibitors)

RN 861904-46-3 CAPLUS

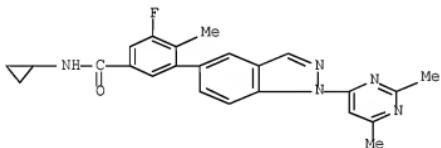
CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-(2-pyridinyl)-1H-indol-5-yl]- (CA INDEX NAME)



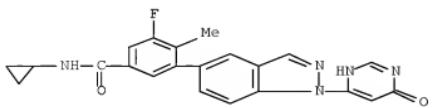
RN 861904-47-4 CAPLUS
CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-(1-(3-pyridinyl)-1H-indol-5-yl)- (CA INDEX NAME)



RN 861904-68-9 CAPLUS
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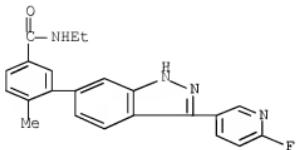


RN 861904-69-0 CAPLUS
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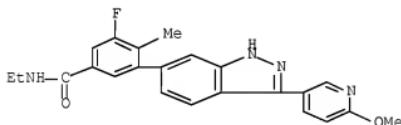


RN 861904-87-2 CAPLUS

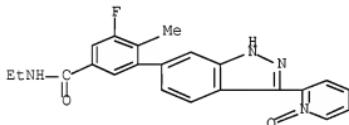
CN Benzamide, N-ethyl-3-[3-(6-fluoro-3-pyridinyl)-1H-indazol-6-yl]-4-methyl-
(CA INDEX NAME)



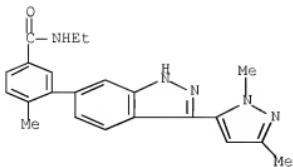
RN 861904-93-0 CAPLUS
CN Benzamide, N-ethyl-3-fluoro-5-[3-(6-methoxy-3-pyridinyl)-1H-indazol-6-yl]-
4-methyl- (CA INDEX NAME)



RN 861904-95-2 CAPLUS
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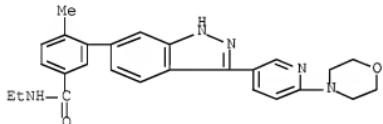


RN 861904-97-4 CAPLUS
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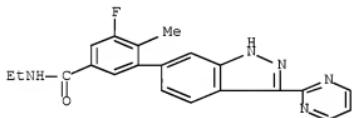
RN 861905-00-2 CAPLUS

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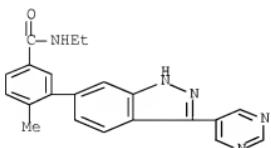
RN 861905-01-3 CAPLUS

CN Benzamide, N-ethyl-3-fluoro-4-methyl-5-[3-(2-pyrimidinyl)-1H-indazol-6-yl]- (CA INDEX NAME)

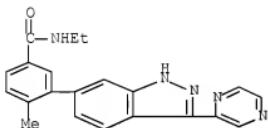


RN 861905-02-4 CAPLUS

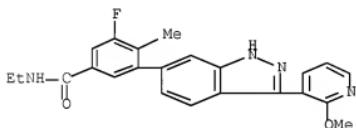
CN Benzamide, N-ethyl-4-methyl-3-[3-(5-pyrimidinyl)-1H-indazol-6-yl]- (CA INDEX NAME)



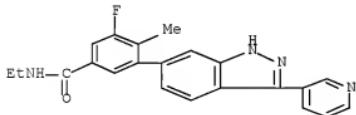
RN 861905-03-5 CAPLUS
CN Benzanide, N-ethyl-4-methyl-3-[3-(2-pyrazinyl)-1H-indazol-6-yl]- (CA INDEX NAME)



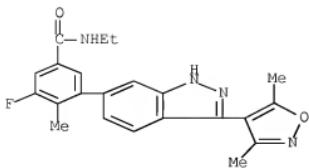
RN 861905-05-7 CAPLUS
CN Benzanide, N-ethyl-3-fluoro-5-[3-(2-methoxy-3-pyridinyl)-1H-indazol-6-yl]-4-methyl- (CA INDEX NAME)



RN 861905-07-9 CAPLUS
CN Benzanide, N-ethyl-3-fluoro-4-methyl-5-[3-(3-pyridinyl)-1H-indazol-6-yl]- (CA INDEX NAME)

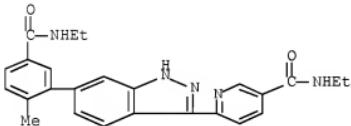


RN 861905-08-0 CAPLUS
CN Benzanide, 3-[3-(3,5-dimethyl-4-isoxazolyl)-1H-indazol-6-yl]-N-ethyl-5-fluoro-4-methyl- (CA INDEX NAME)



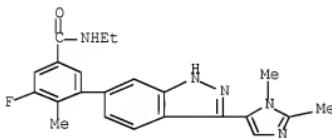
RN 861905-09-1 CAPLUS

CN 3-Pyridinecarboxamide, N-ethyl-6-[5-[(ethylamino)carbonyl]-2-methylphenyl]-1H-indazol-3-yl- (CA INDEX NAME)



RN 861905-13-7 CAPLUS

CN Benzamide, 3-[3-(1,2-dimethyl-1H-imidazol-5-yl)-1H-indazol-6-yl]-N-ethyl-5-fluoro-4-methyl- (CA INDEX NAME)



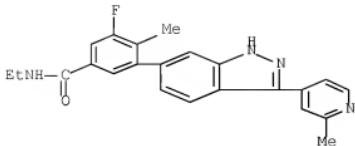
RN 861905-15-9 CAPLUS

CN Formic acid, compd. with N-ethyl-3-fluoro-4-methyl-5-[3-(2-methyl-4-pyridinyl)-1H-indazol-6-yl]benzamide (1:1) (CA INDEX NAME)

CM 1

CRN 861905-14-8

CMF C23 H21 F N4 O



CM 2

CRN 64-18-6
CMF C H2 O2

$\text{C}=\text{CH}-\text{OH}$

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:100989 CAPLUS [Full-text](#)
DOCUMENT NUMBER: 140:146133
TITLE: Preparation of fused heteroaryls, in particular benzisoxazoles and indazoles, for use as p38 kinase inhibitors in the treatment of rheumatoid arthritis
INVENTOR(S): Angell, Richard Martyn; Baldwin, Ian Robert; Bamborough, Paul; Deboeck, Nigel Marc; Longstaff, Timothy; Swanson, Stephen
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004010995	A1	20040205	WO 2003-GB3316	20030730
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, US, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MN, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

AU 2003248978	A1	20040216	AU 2003-248978	20030730
EP 1531812	A1	20050525	EP 2003-771208	20030730
EP 1531812	B1	20070627		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005538100	T	20051215	JP 2004-523985	20030730
AT 365551	T	20070715	AT 2003-771208	20030730
ES 2289336	T3	20080201	ES 2003-771208	20030730
US 20060122221	A1	20060608	US 2005-522955	20051114
US 7642276	B2	20100105		

PRIORITY APPLN. INFO.: GB 2002-17757 A 20020731
WO 2003-GB3316 W 20030730

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:146133

GI

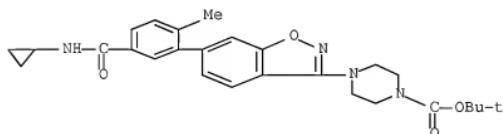
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein ACC = fused 5-membered heteroaryl; R1 = CH3, Cl; R2 = NHCHO and derivs., CONH(CH2)qR3; q = 0-2; R3 = H, cyclo/alkyl, (un)substituted Ph, heteroaryl, etc.; X, Y = independently H, Me, halo] were prepd. as p38 kinase inhibitors for treatment of rheumatoid arthritis. For example, II was prepd. by Pd-cross coupling of 6-bromo-3-piperidin-4-yl-1,2-benzisoxazole and III (prepn. given) at 80.degree. for 18 h. In an *in vitro* fluorescence anisotropy kinase binding assay, I gave IC50 values < 10 .mu.M for the inhibition of p38 kinase. Thus, I are useful in the treatment of conditions and diseases states mediated by p38 kinase activity or mediated by cytokines produced by the activity of p38, such as rheumatoid arthritis.

IT 651780-05-1P, 1,1-Dimethylethyl 4-[6-[5-[(cyclopropylamino)carbonyl]-2-methylphenyl]-1,2-benzisoxazol-3-yl]-1-piperazinecarboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of fused heteroaryls as p38 kinase inhibitors for treatment of rheumatoid arthritis)

RN 651780-05-1 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[6-[5-[(cyclopropylamino)carbonyl]-2-methylphenyl]-1,2-benzisoxazol-3-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

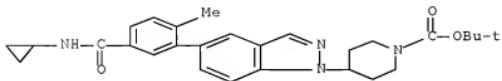


IT 651780-74-7P, 1,1-Dimethylethyl 4-[5-[5-[(cyclopropylamino)carbonyl]-2-methylphenyl]-1H-indazol-1-yl]-1-piperidinecarboxylate
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic

preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(p38 kinase inhibitor; prepn. of fused heteroaryls as p38 kinase inhibitors for treatment of rheumatoid arthritis)

RN 651781-74-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[5-[5-[(cyclopropylamino)carbonyl]-2-methylphenyl]-1H-indazol-1-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



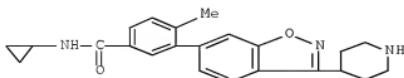
IT 651780-51-7P, N-Cyclopropyl-4-methyl-3-[3-(piperidin-4-yl)-1,2-benzisoxazol-6-yl]benzamide 651780-52-8P,
4-Methyl-N-[3-(morpholin-4-yl)phenyl]-3-[3-(Piperidin-4-yl)-1,2-benzisoxazol-6-yl]benzamide 651780-53-9P,
N-(4-Methyl-3-[3-(piperidin-4-yl)-1,2-benzisoxazol-6-yl]phenyl)-2-(pyrrolidin-1-yl)isonicotinamide 651780-63-1P,
N-(3-Methoxyphenyl)-4-methyl-3-[3-(piperidin-4-yl)-1,2-benzisoxazol-6-yl]benzamide 651780-64-2P,
4-Methyl-3-[3-(piperidin-4-yl)-1,2-benzisoxazol-6-yl]-N-(1,3,4-thiadiazol-2-yl)benzamide 651780-65-3P,
N-(4-Methyl-3-[3-(piperidin-4-yl)-1,2-benzisoxazol-6-yl]phenyl)thiophene-3-carboxamide 651780-66-4P,
N-(4-Methyl-3-[3-(piperidin-4-yl)-1,2-benzisoxazol-6-yl]phenyl)-3-furancarboxamide 651780-67-5P,
N-(Cyclopropylmethyl)-4-methyl-3-[3-(piperidin-4-yl)-1,2-benzisoxazol-6-yl]benzamide 651780-82-4P,
4-Methyl-3-[3-(piperidin-4-yl)-1,2-benzisoxazol-6-yl]-N-(1,3-thiazol-2-yl)benzamide 651780-93-5P,
N-Cyclopropyl-4-methyl-3-[3-(1-piperazinyl)-1,2-benzisoxazol-6-yl]benzamide 651780-84-6P,
N-Cyclopropyl-4-methyl-3-[3-(morpholin-4-yl)-1,2-benzisoxazol-6-yl]benzamide 651781-75-8P,
N-Cyclopropyl-4-methyl-3-[1-(4-piperidinyl)-1H-indazol-5-yl]benzamide hydrochloride

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

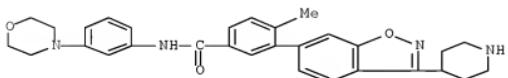
(p38 kinase inhibitor; prepn. of fused heteroaryls as p38 kinase inhibitors for treatment of rheumatoid arthritis)

RN 651780-51-7 CAPLUS

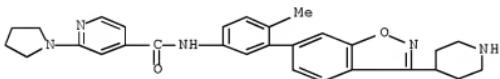
CN Benzamide, N-cyclopropyl-4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]- (CA INDEX NAME)



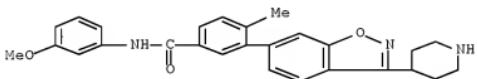
RN 651780-52-8 CAPLUS
CN Benzamide, 4-methyl-N-[3-(4-morpholinyl)phenyl]-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]- (CA INDEX NAME)



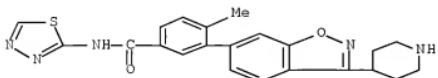
RN 651780-53-9 CAPLUS
CN 4-Pyridinecarboxamide, N-[4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]phenyl]-2-(1-pyrrolidinyl)- (CA INDEX NAME)



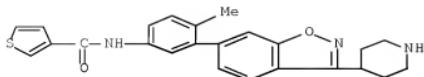
RN 651780-63-1 CAPLUS
CN Benzamide, N-(3-methoxyphenyl)-4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]- (CA INDEX NAME)



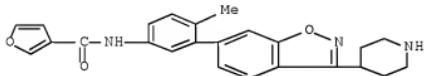
RN 651780-64-2 CAPLUS
CN Benzamide, 4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]-N-1,3,4-thiadiazol-2-yl- (CA INDEX NAME)



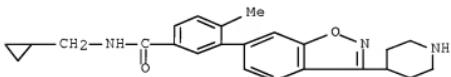
RN 651780-65-3 CAPLUS
CN 3-Thiophenecarboxamide, N-[4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]phenyl]- (CA INDEX NAME)



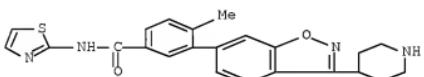
RN 651780-66-4 CAPLUS
CN 3-Furancarboxamide, N-[4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]phenyl]- (CA INDEX NAME)



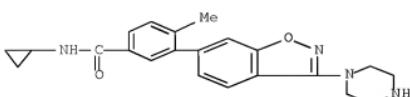
RN 651780-67-5 CAPLUS
CN Benzamide, N-(cyclopropylmethyl)-4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]- (CA INDEX NAME)



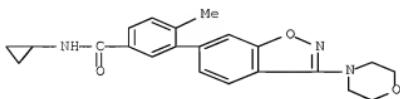
RN 651780-82-4 CAPLUS
CN Benzamide, 4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]-N-2-thiazolyl- (CA INDEX NAME)



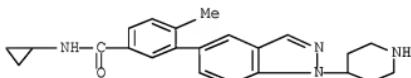
RN 651780-83-5 CAPLUS
CN Benzamide, N-cyclopropyl-4-methyl-3-[3-(1-piperazinyl)-1,2-benzisoxazol-6-yl]- (CA INDEX NAME)



RN 651780-84-6 CAPLUS
CN Benzamide, N-cyclopropyl-4-methyl-3-[3-(4-morpholinyl)-1,2-benzisoxazol-6-yl]-(CA INDEX NAME)



RN 651781-75-8 CAPLUS
CN Benzamide, N-cyclopropyl-4-methyl-3-[1-(4-piperidinyl)-1H-indazol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:851153 CAPLUS [Full-text](#)
DOCUMENT NUMBER: 136:5897
TITLE: Preparation of benzothiophene derivatives as 17.alpha.-hydroxylase/C17-20 lyase inhibitors
INVENTOR(S): Shimada, Shinichi; Nomoto, Shin; Okue, Masayuki; Kimura, Kenichi; Nakamura, Junji; Ikeda, Yoshikazu; Takada, Takeko
PATENT ASSIGNEE(S): Snow Brand Milk Products Co., Ltd., Japan
SOURCE: PCT Int. Appl., 61 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

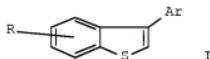
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087878	A1	20011122	WO 2001-JP4189	20010518
W: AU, CA, CN, HU, IL, JP, KR, MX, NO, NZ, RU, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,				

PT, SE, TR
 CA 2409821 A1 20021118 CA 2001-2409821 20010518
 EP 1283209 A1 20030212 EP 2001-932147 20010518
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, FI, CY, TR
 HU 2003002473 A2 20031128 HU 2003-2473 20010518
 NO 2002005475 A 20030115 NO 2002-5475 20021115
 US 20030130340 A1 20030710 US 2002-298679 20021118
 MX 2002011353 A 20050701 MX 2002-11353 20021118
 ZA 2002010202 A 20040317 ZA 2002-10202 20021217
 PRIORITY APPLN. INFO.: JP 2000-146579 A 20000518
 WO 2001-JP4189 W 20010518

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:5897

GI



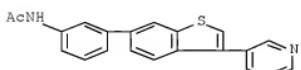
AB The title compds. I [Ar is a substituted or unsubstituted arom. heterocyclic group; and R is amino which may be mono- or di-substituted with one or more members selected from among hydroxyl, lower alkyl, lower alkyloxy, halogeno, carboxyl, lower alkylcarbonyl, carbamoyl, amino, lower alkyl, and lower acyl; cyano; optionally substituted phenyl; optionally substituted phenoxy; optionally substituted phenyl-lower alkyl; optionally substituted phenyl-lower alkyloxy; or an optionally substituted arom. heterocyclic group] are prepd. 3-(6-Isopropoxybenzo[b]thiophen-3-yl)pyridine hydrochloride at 300 nN gave 100% inhibition of 17.alpha.-hydroxylase/C17-20 lyase.

IT 374753-66-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of benzothiophene derivs. as 17.alpha.-hydroxylase/C17-20 lyase inhibitors)

RN 374753-66-9 CAPLUS

CN Acetamide, N-[3-[3-(3-pyridinyl)benzo[b]thien-6-yl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
 (2 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

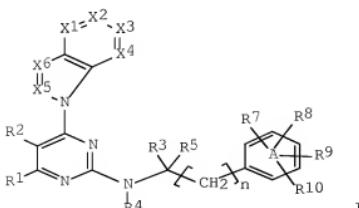
L8 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2001:12273 CAPLUS Full-text
 DOCUMENT NUMBER: 134:86271
 TITLE: Preparation of pyrimidine derivatives as Src-family
 protein tyrosine kinase inhibitor compounds
 INVENTOR(S): Armstrong, Helen M.; Beresis, Richard; Goulet, Joung
 L.; Holmes, Mark A.; Hong, Xingfang; Mills, Sander G.;
 Parsons, William H.; Sinclair, Peter J.; Steiner, Mark
 G.; Wong, Frederick; Zaller, Dennis M.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 470 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000213	A1	20010104	WO 2000-US17443	20000626
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2383546	A1	20010104	CA 2000-2383546	20000626
EP 1206265	A1	20020522	EP 2000-941701	20000626
EP 1206265	B1	20031112		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 6498165	B1	20021224	US 2000-604305	20000626
JP 2003523942	T	20030812	JP 2001-505922	20000626
AT 253915	T	20031115	AT 2000-941701	20000626
PRIORITY APPLN. INFO.:			US 1999-141639P	P 19990630
			WO 2000-US17443	W 20000626

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 134:86271

GI



AB What are claimed are pyrimidine compds. (shown as I), or their pharmaceutically acceptable salts, hydrates, solvates, crystal forms and individual diastereomers, and pharmaceutical compns. including the same and their use as inhibitors of tyrosine kinase enzymes and consequently their use in the prophylaxis and treatment of protein tyrosine kinase-assocd. disorders, such as immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to play a role, such as cancer, angiogenesis, atherosclerosis, graft rejection, rheumatoid arthritis and psoriasis. In I, R1, R2 = independently H, halo, OH, SH, CN, NO2, alkyl, alkoxy, acyloxy, alkoxy carbonyloxy, carbamoyloxy, alkylthio, sulfinyl, sulfonyl, acyl, alkoxy carbonyl, carbamoyl, amino, acylamino, ureido, sulfamoyl, sulfonyl amino, or R1 and R2 can join together to form a fused methylenedioxy ring or a fused 6-membered arom. ring; terms such as 'alkyl' here and below are further defined in the claims. R3, R5 = independently H, C1-C6-alkyl unsubstituted or substituted with 1-3 substituents, aryl, or R3 and R5 taken together can represent :O; R3 or R5 can represent a 2 or 3 C methylene bridge forming a ring of 5-8 atoms fused to the A ring. R4 = H, C1-C6-alkyl, C1-C6-alkoxyl. X1, X2, X3, X4 in -X1:X2:X3:X4- are substituted or unsubstituted CH or N where 0-2 of X1, X2, X3, X4 are N. X5, X6 = independently N, C, optionally substituted CH. A ring = Ph, naphthyl, pyridyl, pyrazinyl, pyrimidinyl, pyrrolyl, thiényl, oxazolyl, isoxazolyl, thiazolyl, pyrazolyl, triazolyl, tetrazolyl, furanyl, benzothienyl, benzofuranyl, indolyl, imidazolyl, benzimidazolyl, thiadiazolyl. R7, R8, R9, R10 = independently H, halo, OH, SH, CN, NO2, N3, N2+BF4-, alkyl, alkoxy, alkylthio, sulfinyl, sulfonyl, C1-C6-alkyl, C1-C6-perfluoroalkyl, acyl, alkoxy carbonyl, carbamoyl, acyloxy, alkoxy carbonyloxy, carbamoyloxy, amino, acylamino, ureido, sulfamoyl, sulfonyl amino, two of R7, R8, R9, and R10 when on adjacent carbons join together to form a methylenedioxy bridge. N = 0-2. More than 500 example preps. are given, but no preparative method is claimed and no data relating to the usefulness of the compds. are given. [This abstr. record is one of 2 records for this document necessitated by the large no. of index entries required to fully index the document and publication system constraints.]

IT 317827-90-0P, 2-[(S)-1-Phenylethylamino]-4-[5-(3-N-acetylaminophenyl)benzimidazol-1-yl]pyrimidine

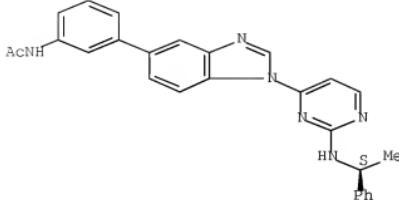
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyrimidine derivs. as Src-family protein tyrosine kinase inhibitor compds.)

RN 317827-90-0 CAPLUS

CN Acetamide, N-[3-[1-[2-[(1S)-1-phenylethyl]amino]-4-pyrimidinyl]-1H-benzimidazol-5-yl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



cited closest art

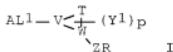
OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 19 ibib abs hitstr tot

L9 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2008:705584 CAPLUS Full-text
 DOCUMENT NUMBER: 149:53991
 TITLE: Preparation of benzimidazolylpyrrolidinecarboxylates and related compounds as antivirals
 INVENTOR(S): Leivers, Martin Robert; Schmitz, Franz Ulrich;
 Roberts, Christopher Don; Dehghani Mohammad Abadi, Ali
 PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA
 SOURCE: PCT Int. Appl., 86pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008070447	A2	20080612	WO 2007-US85218	20071120
WO 2008070447	A3	20090305		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20080193411	A1	20080814	US 2007-943535	20071120
EP 2097405	A2	20090909	EP 2007-871535	20071120
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, HR				
PRIORITY APPLN. INFO.:			US 2006-860614P	P 20061121

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): CASREACT 149:53991; MARPAT 149:53991
 GI



AB Title compds. [I; A = (substituted) 3-13 membered cycloalkyl, heterocyclyl, aryl, heteroaryl; I1 = bond, alkylene, heteroalkylene, alkenylene, alkynylene; T = alkylene, heteroalkylene; V, W = CH, N; p = 0-2; Y1 = halo, OH, (substituted) alkyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, alkoxy, etc.; Z = CO, CS, SO2; R = R1, OR1, OCH2R1, NR1R1; R1 = (substituted) alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl; R1a = H, (substituted) alkyl], were prep'd. Thus, benzyl (S)-4-(5-bromo-1H-benzimidazol-2-yl)-2-pyridin-4-ylthiazolidine-3-carboxylate (prepn. given), N-cyclopropyl-4-(4,4,5,5-tetramethyl[1,3,2]dioxaborolan-2-yl)benzamide (prepn. given), Pd(PPh3)4, and aq. NaHCO3 were heated in DMS overnight at 70.degree. to give benzyl (S)-4-[5-(4-cyclopropylcarbamoylphenyl)-1H-benzimidazol-2-yl]-2-pyridin-4-ylthiazolidine-3-carboxylate. The latter at 10 .mu.M showed 99.8% inhibition of hepatitis C activity.

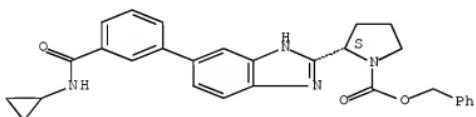
IT 1031746-64-1P 1031747-04-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of benzimidazolylpyrrolidinecarboxylates and related compds. as antivirals)

RN 1031746-64-1 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[6-[3-[(cyclopropylamino)carbonyl]phenyl]-1H-benzimidazol-2-yl]-, phenylmethyl ester, (2S)- (CA INDEX NAME)

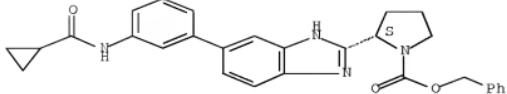
Absolute stereochemistry.



RN 1031747-04-2 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[6-[3-[(cyclopropylcarbonyl)amino]phenyl]-1H-benzimidazol-2-yl]-, phenylmethyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2007:912148 CAPLUS Full-text
 DOCUMENT NUMBER: 147:277628
 TITLE: Pyrimidinyl benzothiophene compounds as IKK.beta. kinase inhibitors, their preparation, pharmaceutical compositions, and use in therapy
 INVENTOR(S): Dahnke, Karl Robert; Lin, Ho-Shen; Shih, Chuan; Wang, Q May; Zhang, Bo; Richett, Michael Enrico
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 100 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007092095	A2	20070816	WO 2006-US60911	20061115
WO 2007092095	A3	20071108		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MM, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006337626	A1	20070816	AU 2006-337626	20061115
CA 2629336	A1	20070816	CA 2006-2629336	20061115
EP 1989200	A2	20081112	EP 2006-850430	20061115
EP 1989200	B1	20090729		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2009516697	T	20090423	JP 2008-541463	20061115
AT 437873	T	20090815	AT 2006-850430	20061115
ES 2329085	T3	20091120	ES 2006-850430	20061115
IN 2008DN04016	A	20090320	IN 2008-DN4016	20080507
US 20080306082	A1	20081211	US 2008-93024	20080508
US 7547691	B2	20090616		
ZA 2008003940	A	20090930	ZA 2008-3940	20080508
MX 2008006382	A	20080526	MX 2008-6382	20080516
KR 2008059451	A	20080627	KR 2008-711830	20080516

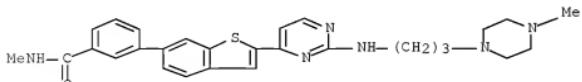
CN 101309918	A 20081119	CN 2006-80042812	20080516
NO 2008002594	A 20080610	NO 2008-2594	20080610
PRIORITY APPLN. INFO.:		US 2005-738097P	P 20051118
		WO 2006-US60911	W 20061115

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 147:277628
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

- AB The invention relates to 2-(pyrimidin-4-yl)benzothiophene derivs. of formula I, which are inhibitors of IKK. β . kinase. In compds. I, R1 is H, halo, OH, methylthio, sulfamoyl, (un)substituted carbamoyl, etc.; R2 is H, halo, OH, cyano, C1-4 alkyl, or C1-4 alkoxy; R3 is H, halo, or methyl; R4 is (un)substituted amino, (un)substituted aminomethylcyclohexyl, (un)substituted piperidinyl, (un)substituted 2,2,6,6-tetramethylpiperidin-4-yl, (un)substituted 2,2,6,6-tetramethylpiperidin-4-ylethenyl, (un)substituted 4-(C1-4 alkyl)piperidin-4-yl, or (un)substituted pyrrolidinyl; n is 1-7; and R5 is H when n is 1, and R5 is H or OH when n is 2-7. The invention also relates to the prepn. of I, pharmaceutical compns. comprising a compd. according to formula I in combination with a pharmaceutically acceptable carrier, diluent, or excipient, as well as to the use of the compns. for the treatment of cancer and inflammatory diseases. Conversion of 4-bromobenzo[b]thiophene to the Grignard reagent followed by carboxylation, lithiation, boronation, and Suzuki coupling with 2,4,5-trichloropyrimidine resulted in the formation of benzo[b]thiophene II, which underwent amidation with cyclopropylamine, substitution with 1-(3-aminopropyl)-4-methylpiperazine, and acidification to give tri-hydrochloride salt III. The compds. of the invention are inhibitors of IKK. β ., e.g., compd. III expressed an IC50 value of 46 nM for IKK. β ..
- IT 946521-06-8P, N-Methyl-3-[2-[2-[(3-(4-methylpiperazin-1-yl)propyl)aminopyrimidin-4-yl]benzo[b]thien-6-yl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of pyrimidinyl benzothiophene compds. as IKK. β . kinase inhibitors)
- RN 946521-06-8 CAPLUS
- CN Benzamide, N-methyl-3-[2-[2-[(3-(4-methyl-1-piperazinyl)propyl)amino]-4-pyrimidinyl]benzo[b]thien-6-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

L9 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:14480 CAPLUS Full-text
DOCUMENT NUMBER: 146:121821
TITLE: Preparation of bicyclic derivatives as p38 kinase

inhibitors

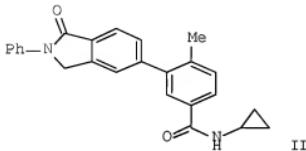
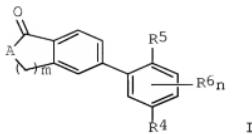
INVENTOR(S): Almansa Rosales, Carmen; Virgili Bernado, Marina
 PATENT ASSIGNEE(S): J. Uriach y Compania S.A., Spain; Palau Pharma, S.A.
 SOURCE: PCT Int. Appl., 80 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007000339	A1	20070104	WO 2006-EP6255	20060628
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006263961	A1	20070104	AU 2006-263961	20060628
CA 2613720	A1	20070104	CA 2006-2613720	20060628
EP 1917241	A2	20080507	EP 2006-776093	20060628
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, RS				
JP 2008544964	T	20081211	JP 2008-518714	20060628
NO 2007005987	A	20080111	NO 2007-5987	20071123
ZA 2007010343	A	20081029	ZA 2007-10343	20071128
MX 2007015531	A	20080306	MX 2007-15531	20071207
KR 2008028870	A	20080402	KR 2007-729139	20071213
US 20090286775	A1	20091119	US 2007-993261	20071220
CN 101208301	A	20080625	CN 2006-80023005	20071226
IN 2007CN06046	A	20080613	IN 2007-CN6046	20071231
PRIORITY APPLN. INFO.:			EP 2005-380140	A 20050629
			WO 2006-EP6255	W 20060628

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 146:121821; MARPAT 146:121821

GI



AB Title compds. represented by the formula I [wherein A = CR1R2 or NR3; R1, R2 = alkyl; R3, R8 = independently -(CH2)p-Cyl or (un)substituted alkyl; m = 1 or 2; R4 = -B-R8; R5 = H, halo, alkyl or alkoxy; R6 = halo or Me; p = 0-2; Cyl = (un)substituted Ph, heteroaryl, cycloalkyl or heterocyclyl; B = -CONR9-, -NR9CO- or -NR9CONR9-; R9 = H or alkyl; or salts thereof] were prep'd. as p38 kinase inhibitors. For example, II was provided in a multi-step synthesis starting from 4-bromo-2-methylbenzoic acid. I showed more than 50 % inhibition for p38. α . enzyme activity at 10 μ M. Thus, I are useful for the treatment of p38 kinase mediated diseases, such as immune diseases.

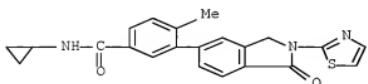
IT 918332-11-3P, N-Cyclopropyl-4-methyl-3-[1-oxo-2-(thiazol-2-yl)-2,3-dihydroisoindolin-5-yl]benzamide 918332-44-2P,
 3-[2-(1-Acetyl-4-yl)-1-oxo-2,3-dihydroisoindolin-5-yl]-N-cyclopropyl-4-methylbenzamide 918332-45-3P,
 N-Cyclopropyl-3-[2-(6-methoxypyridin-3-yl)-1-oxo-2,3-dihydroisoindolin-5-yl]-4-methylbenzamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of bicyclic derivs. as p38 kinase inhibitors)

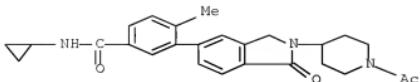
RN 918332-11-3 CAPLUS

CN Benzamide, N-cyclopropyl-3-[2,3-dihydro-1-oxo-2-(2-thiazolyl)-1H-isoindol-5-yl]-4-methyl- (CA INDEX NAME)



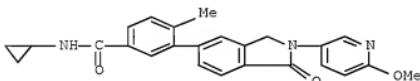
RN 918332-44-2 CAPLUS

CN Benzanide, 3-[2-(1-acetyl-4-piperidinyl)-2,3-dihydro-1-oxo-1H-isoindol-5-yl]-N-cyclopropyl-4-methyl- (CA INDEX NAME)



RN 918332-45-3 CAPLUS

CN Benzamide, N-cyclopropyl-3-(2,3-dihydro-2-(6-methoxy-3-pyridinyl)-1-oxo-1H-isoindol-5-yl)-4-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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Executing the logoff script...

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
FULL ESTIMATED COST	ENTRY	SESSION
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
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SESSION WILL BE HELD FOR 120 MINUTES

STN INTERNATIONAL SESSION SUSPENDED AT 21:38:38 ON 01 FEB 2010